WEST Search History

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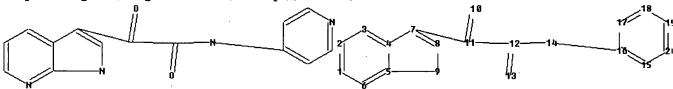
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10 11 12 13 14

ring nodes :

1 2 3 4 5 6 7 8 9 15 16 17 18 19 20

chain bonds :

7-11 10-11 11-12 12-13 12-14 14-16

ring bonds :

1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-9 7-8 8-9 15-16 15-20 16-17 17-18 18-19

19-20

exact/norm bonds :

5-9 8-9 10-11 12-13 12-14 14-16

exact bonds :

4-7 7-8 7-11 11-12

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 15-16 15-20 16-17 17-18 18-19 19-20

isolated ring systems :

containing 1 : 15 :

Match level :

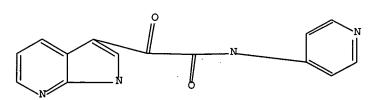
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Structure attributes must be viewed using STN Express query preparation.

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     inflammatory skin diseases and proliferative skin diseases
IN
     Hofgen, Norbert; Egerland, Ute; Kronbach, Thomas; Marx, Degenhard;
     Szelenyi, Stefan; Kuss, Hildegard; Polymeropoulos, Emmanuel
PA
SO
     U.S. Pat. Appl. Publ., 15pp., Cont.-in-part of U.S. Ser. No. 399,051.
     CODEN: USXXCO
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os
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GΙ

$$\mathbb{R}^3 = \mathbb{N}$$
 \mathbb{N} \mathbb{N}

The title azaindoles I [n = 1, 2; R1 = (un)substituted alkyl, alkenyl, benzyl, etc.; R2, R3 = H, (un)substituted alkyl, Ph, pyridyl, triazolyl; NR2R3 = morpholino, thiomorpholino, thiomorpholine S,S-dioxide, 4-methylpiperazino) were prepared for use as PDE-4 inhibitors. Thus, 1-cyclopropylmethyl-7-azaindole-3-carboxylic acid was converted to the acid chloride and treated with 4-aminomethylpyridine to give the amide I [n = 1; R1 = cyclopropylmethyl; R2 = 4-pyridylmethyl; R3 = H] which had an IC50 of 0.710 µM/L against PDE 4.

IT 418794-38-4P 418794-40-8P 418794-42-0P

418794-44-2P 418794-46-4P 418794-47-5P

418794-55-5P 418794-57-7P 418794-59-9P

418794-61-3P 418794-63-5P 418794-64-6P

418794-66-8P 418794-68-0P 418794-70-4P

418794-71-5P 418794-73-7P

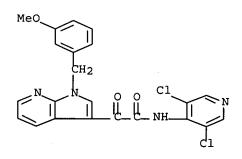
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 7-azaindoles as phosphodiesterase 4 inhibitors for treating inflammatory skin diseases and proliferative skin diseases)

RN 418794-38-4 CAPLUS

CN

1H-Pyrrolo[2,3-b]pyridine-3-acetamide, N-(3,5-dichloro-4-pyridinyl)-1-[(3-methoxyphenyl)methyl]- α -oxo- (9CI) (CA INDEX NAME)



RN 418794-40-8 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, 1-[(4-fluorophenyl)methyl]- α -oxo-N-4-pyridinyl-, hydrochloride (9CI) (CA INDEX NAME)

x HCl

RN 418794-42-0 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, N-(3,5-dichloro-4-pyridinyl)-1-[(4-fluorophenyl)methyl]- α -oxo- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 418794-44-2 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, 1-[(4-chlorophenyl)methyl]- α -oxo-N-4-pyridinyl-, hydrochloride (9CI) (CA INDEX NAME)

x HCl

RN 418794-46-4 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, 1-[(4-chlorophenyl)methyl]-N-(3,5-dichloro-4-pyridinyl)-α-οχο- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 \\ CH_2 \\ \hline \\ N \\ \hline \\ C1 \\ \hline \end{array}$$

RN 418794-47-5 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, N-(3,5-dichloro-4-pyridinyl)-1-[(4-methoxyphenyl)methyl]- α -oxo- (9CI) (CA INDEX NAME)

RN 418794-55-5 CAPLUS

CN lH-Pyrrolo[2,3-b]pyridine-3-acetamide, N-(3,5-dichloro-4-pyridinyl)-1-[(4-methylphenyl)methyl]- α -oxo- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ & \\ \text{CH}_2 \\ & \\ \text{N} \\ & \\ \text{C}_{-} \\ & \\ \text{NH} \\ & \\ \text{C}_{1} \\ \end{array}$$

RN 418794-57-7 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, N-(3,5-dichloro-4-pyridinyl)-1-[(4-hydroxyphenyl)methyl]- α -oxo- (9CI) (CA INDEX NAME)

RN 418794-59-9 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, N-(3,5-dichloro-4-pyridinyl)-1-[(3-hydroxyphenyl)methyl]- α -oxo- (9CI) (CA INDEX NAME)

RN 418794-61-3 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, 1-(cyclopropylmethyl)-N-(3,5-dichloro-4-pyridinyl)-α-oxo-(9CI) (CA INDEX NAME)

RN 418794-63-5 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, N-(3,5-dichloro-4-pyridinyl)-1-hexyl-α-oxo-(9CI) (CA INDEX NAME)

RN 418794-64-6 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, N-(3,5-dichloro-4-pyridinyl)-1-(2-methylpropyl)- α -oxo- (9CI) (CA INDEX NAME)

RN 418794-66-8 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, N-(3,5-dichloro-4-pyridinyl)-1-(2-methyl-2-propenyl)- α -oxo-(9CI) (CA INDEX NAME)

RN 418794-68-0 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, N-(3,5-dichloro-4-pyridinyl)-1-(2-methoxyethyl)- α -oxo-(9CI) (CA INDEX NAME)

RN 418794-70-4 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, N-(3,5-dichloro-4-pyridinyl)-1-(1-naphthalenylmethyl)- α -oxo-(9CI) (CA INDEX NAME)

RN 418794-71-5 CAPLUS CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, N-(3,5-dichloro-4-pyridinyl)- α -oxo-1-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & \\ & & \\ &$$

RN 418794-73-7 CAPLUS CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, N-(3,5-dichloro-4-pyridinyl)-1- $[(3,5-\text{dimethyl-4-isoxazolyl})\text{methyl}] -\alpha-\text{oxo-} (9\text{CI}) \quad \text{(CA INDEX NAME)}$

L5 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN AN 2002:332190 CAPLUS Full-text

10/826,136

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     Novel 7-azaindolecarboxamides as phosphodiesterase 4 inhibitors
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     Hoefgen, Norbert; Egerland, Ute; Kronbach, Thomas; Marx, Degenhard;
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I.

AB 7-Azaindoles I [n = 1, 2; R1 = (un)substituted alkyl, alkenyl; R2, R3 = H, (un)substituted alkyl, Ph, pyridyl, uracilyl, triazolyl; NR2R3 = morpholino, thiomorpholino, thiomorpholine S,S-dioxide, 4-methylpiperazino] were prepared for use as PDE-4 inhibitors. Thus, 1-cyclopropylmethyl-7-azaindole-3-carboxylic acid was converted to the acid chloride and treated with 4-aminomethylpyridine to give the amide which had an IC50 for PDE-4 inhibition of 0.710 μmol./L.

IT 418794-38-4P 418794-40-8P 418794-42-0P 418794-44-2P 418794-46-4P 418794-47-5P 418794-55-5P 418794-57-7P 418794-59-9P 418794-61-3P 418794-63-5P 418794-64-6P

418794-66-8P 418794-73-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of novel 7-azaindolecarboxamides as phosphodiesterase 4 inhibitors)

RN 418794-38-4 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, N-(3,5-dichloro-4-pyridinyl)-1-[(3-methoxyphenyl)methyl]- α -oxo-(9CI) (CA INDEX NAME)

RN 418794-40-8 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, 1-[(4-fluorophenyl)methyl]- α -oxo-N-4-pyridinyl-, hydrochloride (9CI) (CA INDEX NAME)

⊕x HCl

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, N-(3,5-dichloro-4-pyridinyl)-1-[(4-fluorophenyl)methyl]- α -oxo- (9CI) (CA INDEX NAME)

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CH2 \\
N \\
N \\
C \\
C \\
NH
\\
C1
\end{array}$$

RN .418794-44-2 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, 1-[(4-chlorophenyl)methyl]- α -oxo-N-4-pyridinyl-, hydrochloride (9CI) (CA INDEX NAME)

●x HCl

RN 418794-46-4 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, 1-[(4-chlorophenyl)methyl]-N-(3,5-dichloro-4-pyridinyl)-α-oxo-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 \\ \hline \\ CH_2 \\ \hline \\ N \\ \hline \\ C1 \\ \end{array}$$

RN 418794-47-5 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, N-(3,5-dichloro-4-pyridinyl)-1-[(4-

 $\texttt{methoxyphenyl)} \, \texttt{methyl}] \, \textbf{-}\alpha \textbf{-}oxo \textbf{-} \, \, \, (\texttt{9CI}) \quad \, (\texttt{CA INDEX NAME})$

RN 418794-55-5 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, N-(3,5-dichloro-4-pyridinyl)-1-[(4-methylphenyl)methyl]- α -oxo- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me \\ \hline \\ CH_2 \\ \hline \\ N \\ \hline \\ C \\ C \\ C \\ NH \\ \hline \\ C1 \\ \end{array}$$

RN 418794-57-7 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, N-(3,5-dichloro-4-pyridinyl)-1-[(4-hydroxyphenyl)methyl]- α -oxo-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{OH} \\ & \text{CH}_2 \\ & \text{N} \\ & \text{C} \\ & \text{C} \\ & \text{NH} \\ & \text{C}_1 \\ \end{array}$$

RN 418794-59-9 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, N-(3,5-dichloro-4-pyridinyl)-1-[(3-hydroxyphenyl)methyl]- α -oxo-(9CI) (CA INDEX NAME)

RN 418794-61-3 CAPLUS CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, 1-(cyclopropylmethyl)-N-(3,5-dichloro-4-pyridinyl)- α -oxo- (9CI) (CA INDEX NAME)

RN 418794-63-5 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, N-(3,5-dichloro-4-pyridinyl)-1hexyl-α-oxo- (9CI) (CA INDEX NAME)

RN 418794-64-6 CAPLUS CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, N-(3,5-dichloro-4-pyridinyl)-1-(2-methylpropyl)- α -oxo- (9CI) (CA INDEX NAME)

RN 418794-66-8 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, N-(3,5-dichloro-4-pyridinyl)-1-(2-methyl-2-propenyl)-α-oxo-(9CI) (CA INDEX NAME)

RN 418794-73-7 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, N-(3,5-dichloro-4-pyridinyl)-1- [(3,5-dimethyl-4-isoxazolyl)methyl]- α -oxo- (9CI) (CA INDEX NAME)

IT 418794-68-0P 418794-70-4P 418794-71-5P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of novel 7-azaindolecarboxamides as phosphodiesterase 4 inhibitors)

RN 418794-68-0 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, N-(3,5-dichloro-4-pyridinyl)-1-(2-methoxyethyl)- α -oxo- (9CI) (CA INDEX NAME)

RN 418794-70-4 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, N-(3,5-dichloro-4-pyridinyl)-1-(1-naphthalenylmethyl)-α-οxο-(9CI) (CA INDEX NAME)

RN 418794-71-5 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-acetamide, N-(3,5-dichloro-4-pyridinyl)- α -oxo-1-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

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RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L6 1 L4 NOT L5

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L6 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:927204 CAPLUS Full-text

DN 141:395538

TI Preparation of 7-azaindolylglyoxylamides as phosphodiesterase IV inhibitors.

IN Hoefgen, Norbert; Kuss, Hildegard; Olbrich, Matthias; Egerland, Ute; Rundfeldt, Chris; Steinike, Karin; Schindler, Rudolf

PA Elbion A.-G., Germany

SO PCT Int. Appl., 58 pp. CODEN: PIXXD2

DT Patent

LA German

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10/826,136

	PATENT NO.					KIND DATE			APPLICATION NO.										
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AB Title compds. [I; A = N, N-oxide group; B = C, N, N-oxide group; R1 = (substituted) alkyl, alkenyl; R2 = H, alkyl; R3, R4 = H, alkyl, OH, SH, NH2, NO2, cyano, SO3H, CO2H, alkoxycarbonyl, halo, alkoxy, alkylthio, (substituted) Ph, pyridyl, etc.], were prepared Thus, N-(3,5- dichloropyridin-4-yl) [1-(4-fluorobenzyl)-7-azaindol-3-yl]glyoxylic acid amide in CH2Cl2 was treated dropwise with m-chloroperbenzoic acid in HOAc followed by stirring for 7 days to give 9.4% N-(3,5-dichloropyridin-4-yl) [1-(4-fluorobenzyl)-7-oxo-7-azaindol-3-yl]glyoxylic acid amide. I inhibited phosphodiesterase 4 with IC50's in the range of 10-10 M to 10-5 M.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)
SINCE FILE TOTAL
ENTRY SESSION

CA SUBSCRIBER PRICE

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